

**PR-28. STRATEGY OF DIRECT C(*sp*²)-H FUNCTIONALIZATION
IN NON-AROMATIC AZAHETEROCYCLES
AS AN EFFICIENT APPROACH
TOWARDS NOVEL 2*H*-IMIDAZOLE DERIVATIVES**

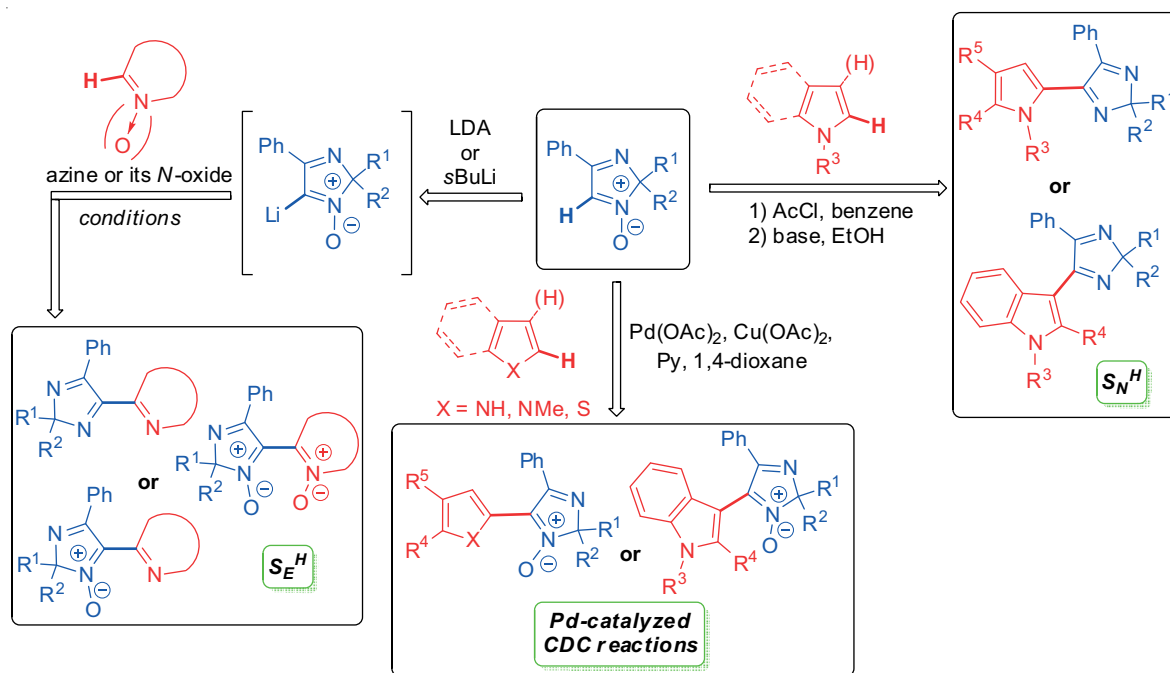
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The strategy of direct C(*sp*²)-H functionalization is known to be a powerful synthetic tool, based on principles of green chemistry and sustainable development concepts, to design organic molecules using both laboratory methods and industrial processes. The methodology of C(*sp*²)-H functionalization has first been applied to modify non-aromatic heterocyclic substrates, 2*H*-imidazole derivatives. These cyclic aldonitrones have been successfully involved to various types of interactions, such as both electrophilic and nucleophilic substitution of hydrogen, as well as palladium-catalyzed cross-dehydrogenative coupling (CDC) reactions. As a result of carried out C-H/C-H and C-H/C-Li coupling reactions, novel 5-substituted 2*H*-imidazoles, containing fragments of five- and six-membered heterocycles, have been synthesized. It should be noted that the retention of an *N*-oxide function in the final product structure depends on the selected conditions of the transformation [1–4].



An increased interest in the synthesized biheterocyclic derivatives is due to the prospects for further application of these compounds in the design of biologically active substances and materials for organic electronics.

References

1. Varaksin M. V., Utepova I. A., Chupakhin O. N. Direct C–C Coupling of Cyclic Aldonitrones with 1,2,4-Triazines Using S_N^H Reactions // Chem. Heterocycl. Compd. Springer US. 2012. Vol. 48, № 8. P. 1213–1219.
2. Palladium(II)-Catalyzed Oxidative C–H/C–H Coupling and Eliminative S_N^H Reactions in Direct Functionalization of Imidazole Oxides with Indoles / M. V. Varaksin [et al.] // J. Org. Chem. American Chemical Society. 2012. Vol. 77, № 20. P. 9087–9093.
3. Direct nucleophilic C–H functionalization of azines and their N-oxides by lithium derivatives of aldonitrones / M. V. Varaksin [et al.] // Tetrahedron. Pergamon. 2015. Vol. 71, № 38. P. 7077–7082.
4. Metal-free C–H functionalization of 2H-imidazole 1-oxides with pyrrolyl fragments in the design of novel azaheterocyclic ensembles / M. Varaksin [et al.] // Org. Biomol. Chem. The Royal Society of Chemistry. 2017. Vol. 15, № 39. P. 8280–8284.

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